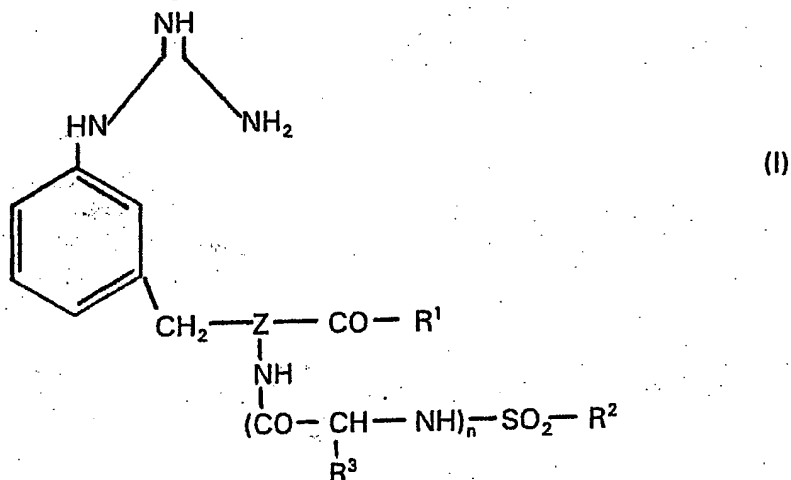


Claims

1. Use of compounds of formula I

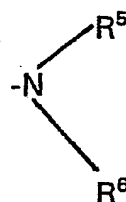


5

which are present as racemates and also as D- or L-configured compounds and in which

R¹ (a) is OH or OR⁴, where R⁴ is an optionally substituted, branched or unbranched C₁-C₈-alkyl, C₃-C₈-cycloalkyl or aralkyl,

10



(b) is a group of the formula $\begin{array}{c} \text{R}^5 \\ \diagup \\ -\text{N} \\ \diagdown \\ \text{R}^6 \end{array}$ in which R⁵ and R⁶ are arbitrary radicals, where, in particular,

15

(i) R⁵ and R⁶ are H,

(ii) R⁵ is H and R⁶ is an optionally substituted, branched or unbranched C₁-C₈-alkyl, aralkyl or C₅-C₈-cycloalkyl,

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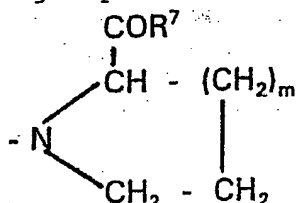
(iii) R⁵ and R⁶ are in each case, independently, an optionally substituted, unbranched or branched C₁-C₄-alkyl, or

(iv) R⁵ is H and R⁶ is -NH₂ or an amino group which is, in particular,

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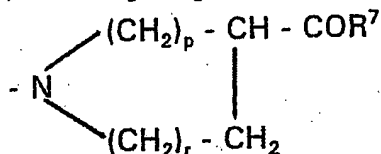
substituted by aryl or heteroaryl,
 (v) R^5 is H or an optionally substituted,
 unbranched or branched C_1 - C_4 -alkyl, or
 R^6 is the radical of an amino acid, of
 a peptide or of a polypeptide,

(c) is a group of the formula



in which m denotes the number 1 or 2 and in
 which one or more of the methylene groups
 is/are optionally substituted, where the
 group (c) is racemic or D-configured or L-
 configured, and R^7 has the meaning of R^1 in
 subsections (a), (b) and (f),

(d) is a group of the formula

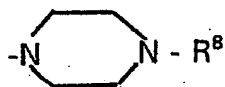


in which $p = r = 1$, $p = 1$ and $r = 2$ or $p =$
 2 and $r = 1$ and in which one or more of the
 methylene groups is/are optionally
 substituted, and R^7 has the meaning of R^1 in
 subsections (a), (b) and (f),

(e) is a piperidyl group which is optionally
 substituted in one of the positions 2, 3
 and 4,

where an additional aromatic or
 cycloaliphatic ring is optionally fused, in
 the 2,3 or 3,4 position, based on the
 heteroatom, to the heterocycloaliphatic
 rings of the formulae (c), (d) and (e),

(f) is a group of the formula



in which R^8

- 5 (i) is an optionally substituted C_1 - C_6 -alkyl radical or aryl radical,
(ii) is a saturated or unsaturated, branched or unbranched C_1 - C_6 -alkoxy radical,
10 (iii) is an optionally substituted oxycarbonyl radical e.g. an ethoxycarbonyl, phenoxycarbonyl or benzyl-oxycarbonyl radical, or
(iv) is an optionally substituted aminocarbonyl radical, e.g. an ethyl-aminocarbonyl radical,
15

(g) is an acyl radical of the formula $-COX$, where X

- 20 (i) is H or an optionally substituted, unbranched or branched alkyl radical,
(ii) is an optionally substituted aryl or heteroaryl radical, or
(iii) is an optionally substituted cycloalkyl radical,
25

(h) is an aralkyl radical in which the aromatic radical is optionally substituted,

30 (i) is a carboxamide radical of the formula $-CONR'R''$, a thiocarboxamide radical $-CSNR'R''$ or an acetamide radical $-CH_2CONR'R''$, where

- (i) R' and R'' are H,
(ii) R' and R'' are in each case,
35 independently, C_1 - C_4 -alkyl,
(iii) R' is H and R'' is C_1 - C_4 -alkyl,
(iv) R' is H and R'' is aryl, or
(v) R' and R'' form, together with the

nitrogen atom, a heterocycloaliphatic ring which has 5-7 ring members and which can carry a further heteroatom,

- 5 (j) is a $\text{SO}_2\text{-Y}$ radical in which Y
- (i) is an optionally substituted $\text{C}_1\text{-C}_8\text{-alkyl}$,
 - (ii) is an optionally substituted aryl or heteroaryl or O-aryl or O-heteroaryl,
 - 10 or
 - (iii) is -NR'R'' , where R' and R'' are, in each case, independently, H or $\text{C}_1\text{-C}_3\text{-alkyl}$,
- 15 (k) is a cycloaliphatic ring which has 5 to 8 C atoms and which is optionally substituted,
- (l) is an optionally substituted heteroaryl radical or heterocycloaliphatic radical,
- 20 (m) is a functionalized alkyl radical of the formula $\text{-(CH}_2\text{)}_n\text{-X}$, where the alkyl chain is unbranched or branched, $n = 1$ to 8 and the functional radical X
- 25 (i) is a hydroxyl group whose H atom is optionally substituted by a $\text{C}_1\text{-C}_4\text{-alkyl}$ group, aralkyl group, e.g. benzyl or phenylethyl, aryl group, $\text{C}_1\text{-C}_4\text{-hydroxyalkyl}$ group or acyl group
 - 30 $\text{CO-alkyl (C}_1\text{-C}_6\text{)}$,
 - (ii) is a halogen atom,
 - (iii) is a tertiary amino group of the formula -N(Alk)_2 , where the alkyl groups have 1 to 3 C atoms and the
 - 35 nitrogen atom optionally belongs to a heterocycloaliphatic ring which has 5-7 ring members and which can carry an additional heteroatom S,

R² is an optionally substituted phenyl radical,

R³ is H or branched or unbranched C₁-C₄-alkyl and
n is 0 or 1,

5

Z is N or CR⁹, where R⁹ is H or branched or
unbranched C₁-C₄-alkyl,

10 or of salts of the compounds for producing an
agent for diagnosing, treating and preventing
urokinase-associated or urokinase receptor-
associated diseases.

15 2. The use as claimed in claim 1,
characterized in that
R¹ is a group of the formulae (b), (d) and (f), R²
is a 2,4,6-triisopropylphenyl radical and n = 0.

20 3. The use as claimed in claim 1 or 2,
characterized in that
the compound of the formula I is N α -(2,4,6-
triisopropylphenylsulfonyl)-3-guanidino-(D,L)-
phenylalanine-4-ethoxycarbonyl piperazide,
N α -(2,4,6-triisopropylphenylsulfonyl)-3-guanidino-
25 (D,L)-phenylalanine-4-ethylaminocarbonyl
piperazide, or the L-enantiomer or a
pharmaceutically tolerated salt of one of the
compounds.

30 4. The use as claimed in one of claims 1 to 3,
characterized in that
the compounds are present in the form of
physiologically tolerated acid salts, in
particular as hydrochlorides.

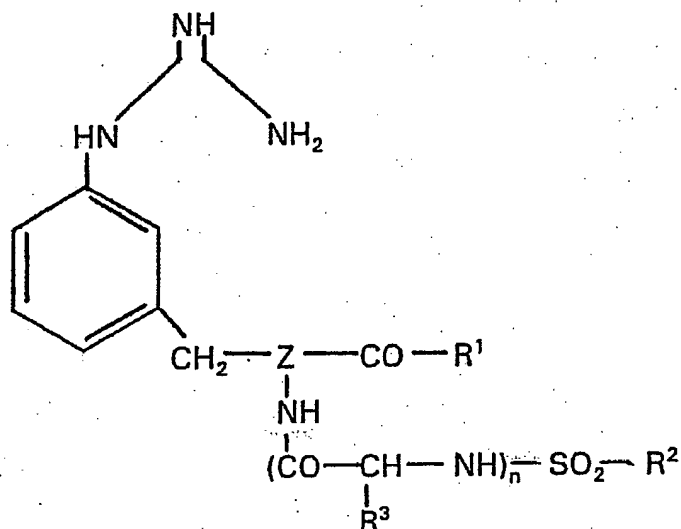
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5. The use as claimed in one of claims 1 to 4 for
controlling tumors.

6. The use as claimed in claim 5 for controlling

mammary carcinomas, pancreatic carcinomas and metastasis formation.

- 5 7. The use as claimed in one of claims 1 to 4 for controlling pemphigus vulgaris.
- 10 8. The use as claimed in one of claims 1 to 7, characterized in that the compounds of formula I are employed as conjugates with other pharmacologically active substances.
- 15 9. The use as claimed in one of claims 1 to 8, characterized in that the compounds of formula I are employed in combination with other pharmacologically active substances.
- 20 10. The use as claimed in claim 8 or 9, characterized in that the compounds are employed as conjugates with radiolabels and/or in combination with cytotoxic substances.
- 25 11. The use as claimed in one of claims 1 to 10 for producing drugs which can be administered orally, topically, rectally or parenterally.
- 30 12. The use as claimed in one of claims 1 to 11 in the form of tablets, sugar-coated tablets, capsules, pellets, suppositories, solutions or transdermal systems such as plasters.
- 35 13. A method for inhibiting urokinase in living beings, in particular humans, by administering an effective quantity of at least one urokinase inhibitor as claimed in one of claims 1 to 4.
14. A compound of the formula I



(II)

in which R^1 , R^2 , R^3 , Z and n are defined as in claim 1 or 2.

- 5 15. α -(2,4,6-triisopropylphenylsulfonyl)-3-guanidino-(D,L)-phenylalanine-4-ethoxycarbonyl piperazide, α -(2,4,6-triisopropylphenylsulfonyl)-3-guanidino-(D,L)-phenylalanine-4-ethylaminocarbonyl piperazide or the L-enantiomer thereof, or a
10 pharmaceutically tolerated salt of one of the compounds.
16. A pharmaceutical composition,
characterized in that
15 it comprises, as active compound, one or more compounds as claimed in claim 14 or 15, where appropriate together with pharmaceutically customary excipients, adjuvants and/or diluents.